

Title (en)
Method for synthesis of (2S,3aS,7aS)-1-(S)-alanyl-octahydro-1H-indole-2- carboxylic acid derivatives and use in the synthesis of perindopril

Title (de)
Verfahren zur Synthese von (2S,3aS,7aS)-1-(S)-Alanyl-octahydro-1H-2-carbonsäurederivaten und Verwendung in der Synthese von Perindopril

Title (fr)
Nouveau procédé de synthèse de dérivés de l'acide (2S, 3aS, 7aS)-1- (S)-alanyl-octahydro-1H-indole-2-carboxylique et application à la synthèse du perindopril

Publication
EP 1256590 B1 20060301 (FR)

Application
EP 02291853 A 20020723

Priority
FR 0109839 A 20010724

Abstract (en)
[origin: EP1256590A1] Process for industrial synthesis of (2S, 3aS, 7aS)-1-(S) alanyl octahydro-2-carboxylic acid derivatives (I) by reaction of alanine derivative (VI) and ester derivative (V) and catalytic hydrogenation. Process for industrial synthesis of (2S, 3aS, 7aS)-1-(S) alanyl octahydro-2-carboxylic acid derivatives of formula (I) comprises reaction of an ester of formula (V) with an alanine of formula (VI) in an organic solvent optionally in the presence of less than 0.6 mole per mole (V) of 1-hydroxybenzotriazole and in the presence of 1-1.2 mole of dicyclohexylcarbodiimide and 1-1.2 mole of triethylamine per mole of (V) at 20-50 degrees C to give a compound of formula (VII) which is then hydrogenated at 1-40 bars and 30-70 degrees C in the presence of a catalyst, preferably rhodium on charcoal or platinum dioxide, to form (I). R1 = H , 1-6C alkyl, or benzyl; and R2 = amino protecting group. An Independent claim is also included for a process for the synthesis of perindopril starting from (I).

IPC 8 full level
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CPC (source: EP KR US)
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